10/005,133 EAST

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2322	((514/235.8) or (514/272) or (514/341)).CCLS.	US-PGPUB; USPAT	OR	OFF	2005/07/07 17:26
L2	1748	((544/124) or (544/331)).CCLS.	US-PGPUB; USPAT	OR	OFF	2005/07/07 17:26
L3	3803	L1 or L2	US-PGPUB; USPAT	OR	OFF	2005/07/07 17:27
L4	3099	L3 and amino	US-PGPUB; USPAT	OR	OFF	2005/07/07 17:27

10/ 005,133

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	4	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	5	MAR 02	GBFULL: New full-text patent database on STN
NEWS	6	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	9	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	10	MAR 22	PATDPASPC - New patent database available
NEWS	11	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	12	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	13	APR 04	EMBASE - Database reloaded and enhanced
NEWS	14	APR 18	New CAS Information Use Policies available online
NEWS	15	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	16	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAplus
NEWS	17	MAY 23	GBFULL enhanced with patent drawing images
NEWS	18	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	19	JUN 06	STN Patent Forums to be held in June 2005
NEWS	20	JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available
NEWS	21	JUN 13	RUSSIAPAT: New full-text patent database on STN
NEWS	22	JUN 13	FRFULL enhanced with patent drawing images
NEWS	23	JUN 20	MEDICONF to be removed from STN
NEWS	24	JUN 27	MARPAT displays enhanced with expanded G-group definitions and text labels
NEWS	25	JUL 01	MEDICONF removed from STN

NEWS EXPRESS	JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:34:55 ON 07 JUL 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:35:05 ON 07 JUL 2005
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STRUCTURE FILE UPDATES: 6 JUL 2005 HIGHEST RN 853990-77-9
DICTIONARY FILE UPDATES: 6 JUL 2005 HIGHEST RN 853990-77-9

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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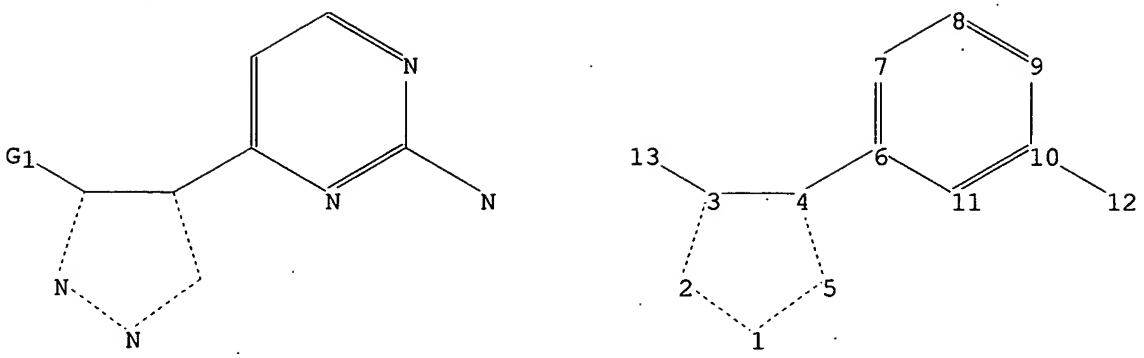
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10005133.str

10/ 005,133



chain nodes :
12 13
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
3-13 4-6 10-12
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 2-3 3-13 4-5 10-12
exact bonds :
3-4 4-6
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

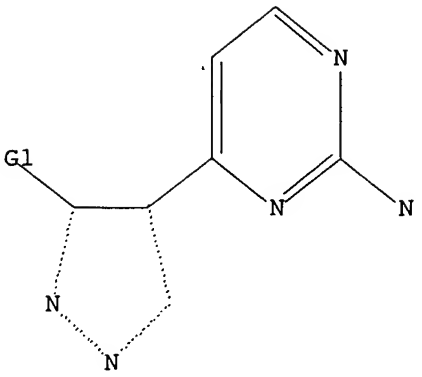
G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

10/ 005,133



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -     68 TO ITERATE

100.0% PROCESSED       68 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          866 TO     1854
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 10:35:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1877 TO ITERATE

100.0% PROCESSED     1877 ITERATIONS                               45 ANSWERS
SEARCH TIME: 00.00.01

L3           45 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CAPLUS' ENTERED AT 10:35:41 ON 07 JUL 2005
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FILE COVERS 1907 - 7 Jul 2005 VOL 143 ISS 2
FILE LAST UPDATED: 6 Jul 2005 (20050706/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

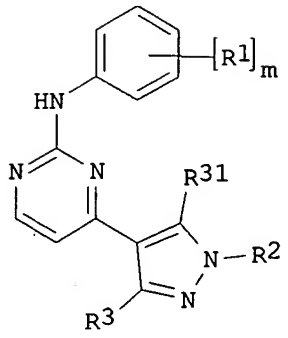
=> s l3
L4 9 L3

=> d l4 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 9 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:41464 CAPLUS
DOCUMENT NUMBER: 140:111424
TITLE: Preparation of phenyl-[4-(3-phenyl-1H-pyrazol-4-yl)-pyrimidin-2-yl]-amines as protein tyrosine kinase inhibitors
INVENTOR(S): Furet, Pascal; Imbach, Patricia; Ramsey, Timothy Michael; Schlapbach, Achim; Scholz, Dieter; Caravatti, Giorgio
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005282	A1	20040115	WO 2003-EP7350	20030708
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2491635	AA	20040115	CA 2003-2491635	20030708
EP 1521749	A1	20050413	EP 2003-762663	20030708
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003012573	A	20050426	BR 2003-12573	20030708
PRIORITY APPLN. INFO.:			GB 2002-15844 A	20020709
			WO 2003-EP7350 W	20030708

OTHER SOURCE(S): MARPAT 140:111424
GI



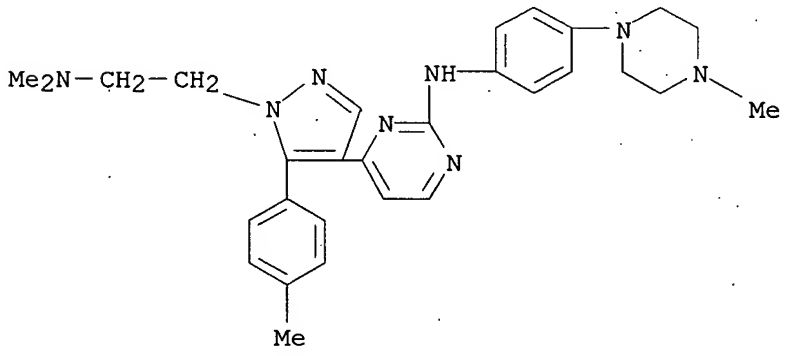
I

AB The title compds. [I; m = 1-5; R1 = alkylsulfonyl, (un)substituted aminosulfonyl, amino, etc.; R2 = H, (un)substituted alkyl, heterocyclyl; R3 = H, (un)substituted Ph; R31 = H if R3 = (un)substituted Ph or R31 = (un)substituted Ph if R3 = H; with the proviso], useful for treating diseases which respond to an inhibition of a protein tyrosine kinase, were prepared and formulated. Thus, reacting 2-chloro-4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]pyrimidine with 4-(4-methylpiperazin-1-yl)phenylamine afforded I [R1 = 4-(4-methylpiperazin-1-yl); m = 1; R2 = H; R3 = 4-ClC6H4; R31 = H] which showed IC50 of 0.018 μM, 0.023 μM, and 0.01 μM against EGF-R (HER-1), ErbB-2 (HER-2) and VEGF receptor (KDR), resp. The invention relates also to pharmaceutical compns. comprising the compds. I and to the use of such derivs. - alone or in combination with one or more other pharmaceutically active compds. - for the preparation of pharmaceutical compns. for the treatment especially of a proliferative disease, such as a tumor.

IT **646526-44-5P 646526-52-5P 646526-64-9P**
646526-66-1P 646526-70-7P 646526-81-0P
646526-83-2P 646526-87-6P 646526-91-2P
646526-95-6P 646526-99-0P 646527-01-7P
646527-05-1P 646527-15-3P 646527-21-1P
646527-49-3P 646527-53-9P 646527-73-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenyl[4-(3-phenyl-1H-pyrazol-4-yl)pyrimidin-2-yl]amines as protein tyrosine kinase inhibitors)

RN 646526-44-5 CAPLUS

CN 2-Pyrimidinamine, 4-[1-[2-(dimethylamino)ethyl]-5-(4-methylphenyl)-1H-pyrazol-4-yl]-N-[4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 646526-52-5 CAPLUS
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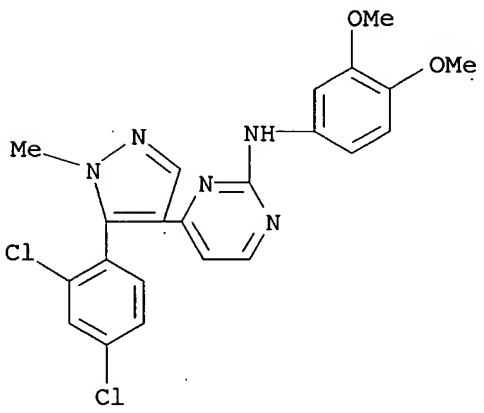
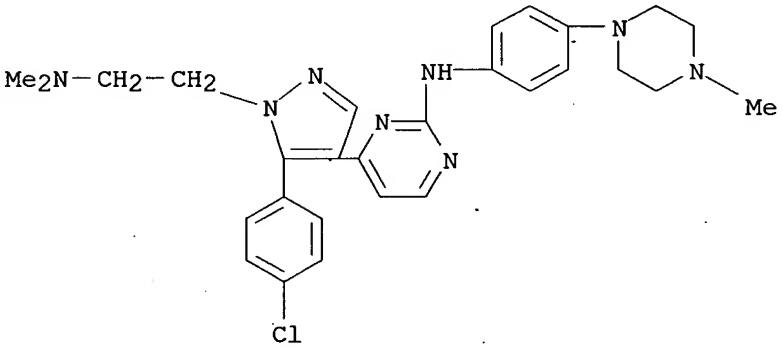


RN 646526-64-9 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(4-chlorophenyl)-1-[2-(dimethylamino)ethyl]-1H-pyrazol-4-yl]-N-[4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 646526-66-1 CAPLUS
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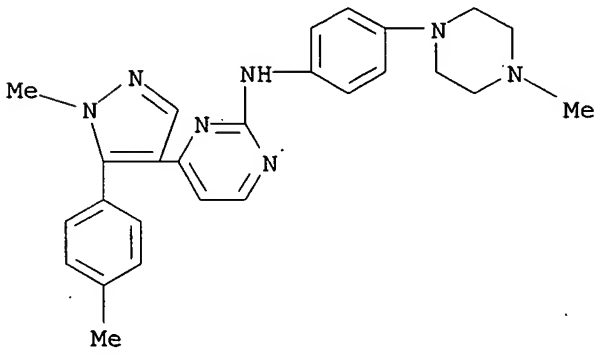
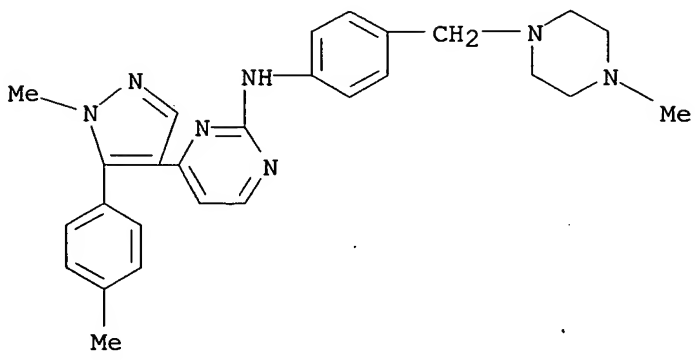
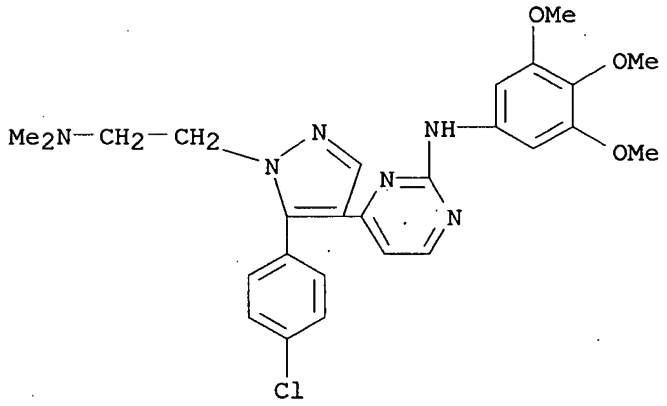


RN 646526-70-7 CAPLUS
CN 2-Pyrimidinamine, 4-[1-methyl-5-(4-methylphenyl)-1H-pyrazol-4-yl]-N-[4-[(4-

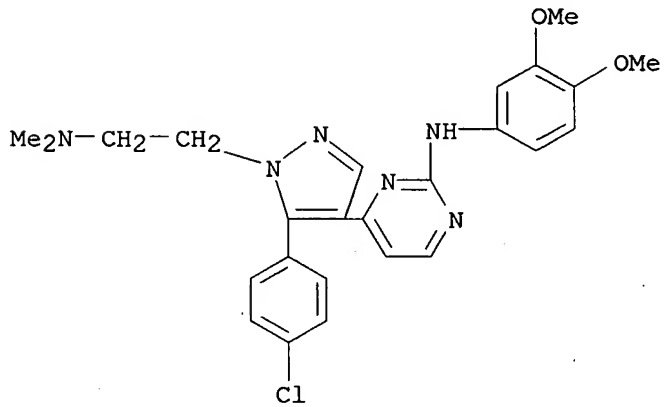
methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 646526-81-0 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(4-chlorophenyl)-1-[2-(dimethylamino)ethyl]-1H-pyrazol-4-yl]-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 646526-83-2 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(4-chlorophenyl)-1-[2-(dimethylamino)ethyl]-1H-pyrazol-4-yl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 646526-87-6 CAPLUS
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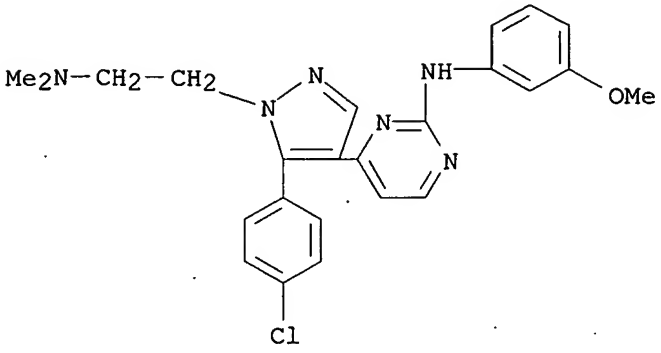
10/ 005,133

pyrazol-4-yl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 646526-91-2 CAPLUS
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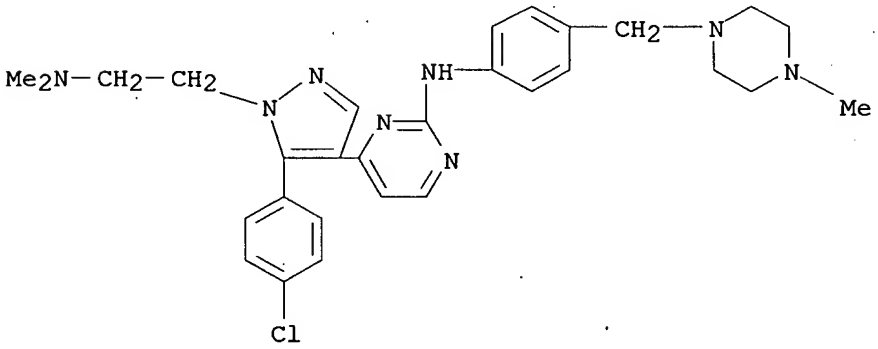
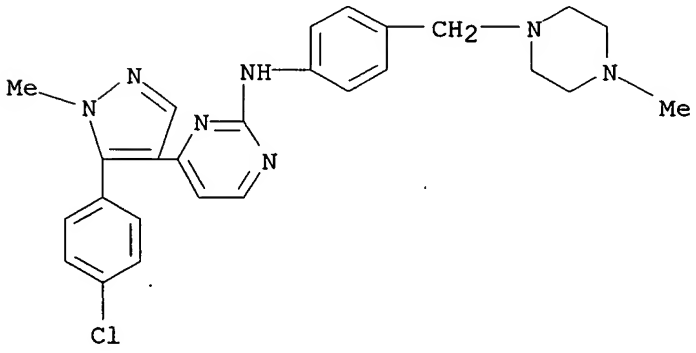
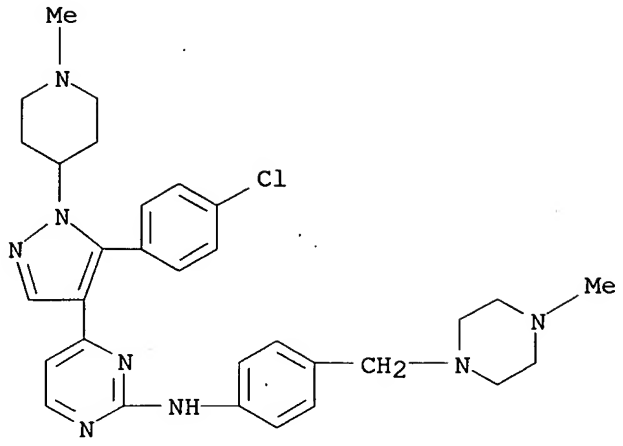


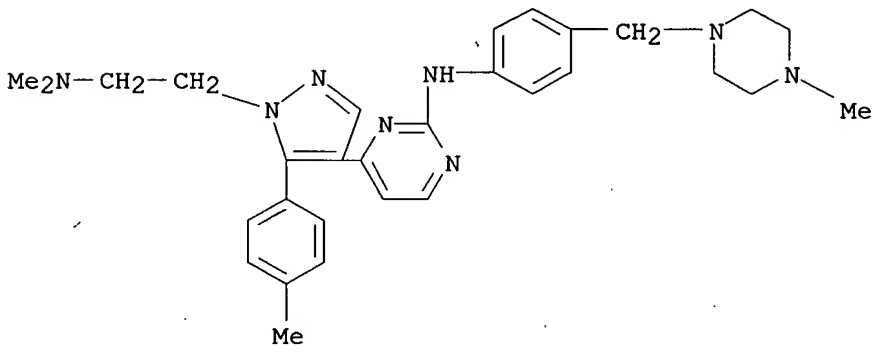
RN 646526-95-6 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(4-chlorophenyl)-1-methyl-1H-pyrazol-4-yl]-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



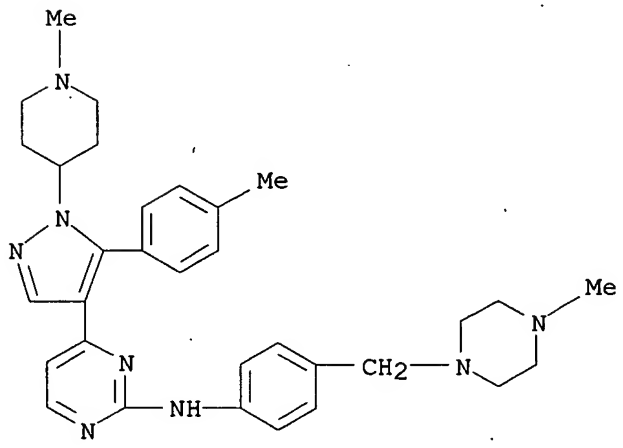
RN 646526-99-0 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(4-chlorophenyl)-1-(1-methyl-4-piperidinyl)-1H-pyrazol-4-yl]-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 646527-01-7 CAPLUS
CN 2-Pyrimidinamine, 4-[1-[2-(dimethylamino)ethyl]-5-(4-methylphenyl)-1H-pyrazol-4-yl]-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 646527-05-1 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(4-methylphenyl)-1-(1-methyl-4-piperidinyl)-1H-pyrazol-4-yl]-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 646527-15-3 CAPLUS
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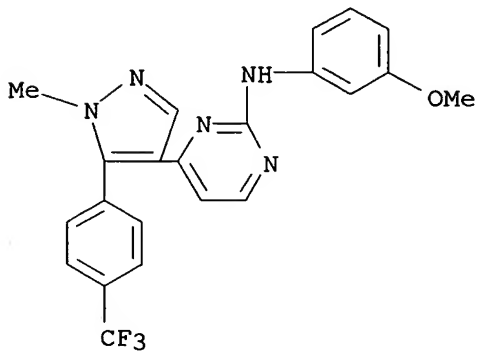

RN 646527-21-1 CAPLUS
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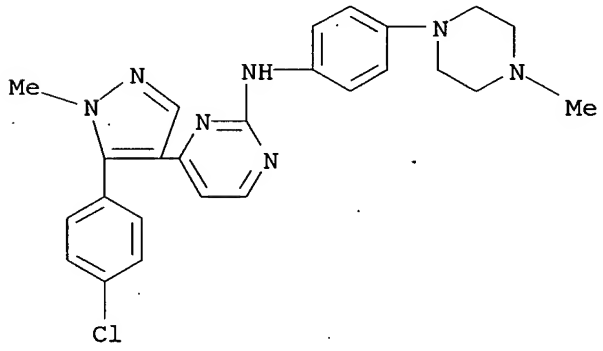
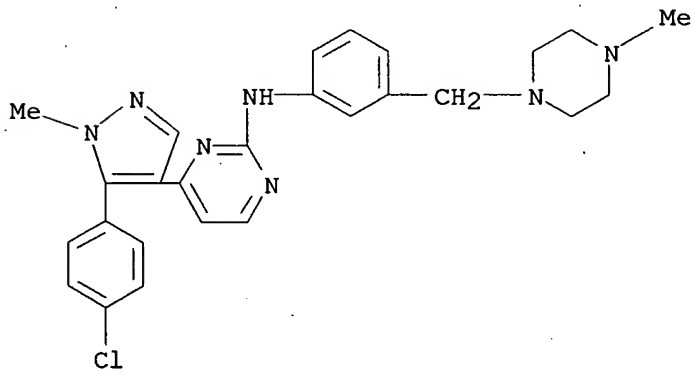
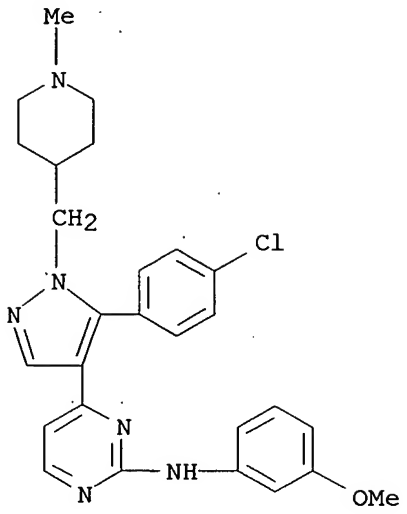


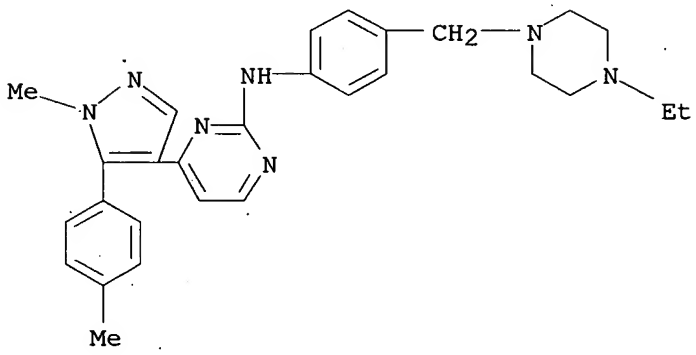
RN 646527-49-3 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(4-chlorophenyl)-1-methyl-1H-pyrazol-4-yl]-N-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 646527-53-9 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(4-chlorophenyl)-1-[(1-methyl-4-piperidinyl)methyl]-1H-pyrazol-4-yl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 646527-73-3 CAPLUS
CN 2-Pyrimidinamine, N-[4-[(4-ethyl-1-piperazinyl)methyl]phenyl]-4-[1-methyl-5-(4-methylphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:590836 CAPLUS
DOCUMENT NUMBER: 139:149624
TITLE: Preparation of 1,4-diarylpyrazole inhibitors of src and other protein kinases
INVENTOR(S): Young, Choon Moon
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: U.S. Pat. Appl. Publ., 35 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144309	A1	20030731	US 2002-146984	20020516

US 6884804 B2 20050426
PRIORITY APPLN. INFO.: US 2002-146984 20020516
OTHER SOURCE(S): MARPAT 139:149624
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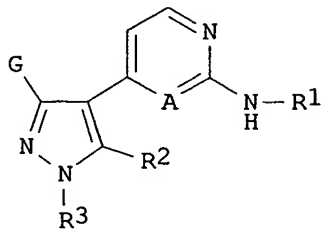

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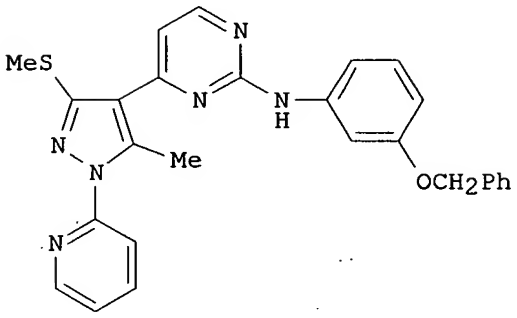


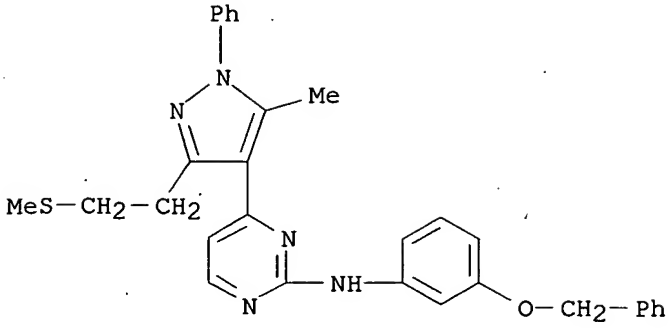
II

AB Title compds. I [G = XR, XAr; X = alkylidene wherein one or two non-adjacent methylene units of X are replaced by O, amino, S, CO, etc.; A = N, CR; R = H, aliphatic, etc.; Ar = (un)substituted 5-6 membered (un)saturated monocyclic ring, etc.; R1 = TnR, TnAr; n = 0-1; T = CO, CO2, COCO, etc.; R2 = H, Ar, aliphatic; R3 = R, Ar] are prepared For instance, 3-(bis(methylsulfanyl)methylene)pentane-2,4-dione (preparation given) is condensed with (pyridin-2-yl)hydrazine to give 1-[5-methyl-3-(methylsulfanyl)-1-(pyridin-2-yl)-1H-pyrazole-4-yl]ethanone. This intermediate is reacted with DMFDMA (reflux) and the resulting β-amino enone condensed with N-(3-benzyloxyphenyl)guanidine to give II. Many of the compds. have Ki ≤ 1 μM for src kinase. I are inhibitors of protein kinase, particularly inhibitors of src mammalian protein kinase involved in cell proliferation, cell death in response to extracellular stimuli.

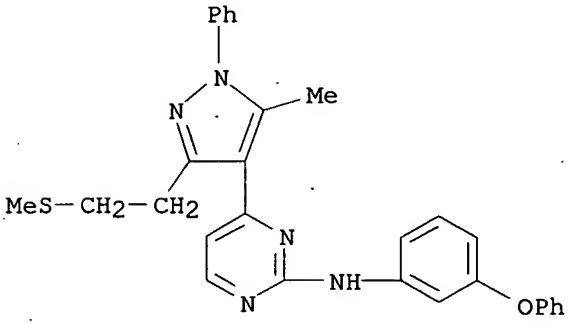
IT **475574-56-2P 475574-57-3P 475574-58-4P 475574-59-5P 475574-60-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-phenyl-4-pyrimidinyl-substituted pyrazole inhibitors of src and other protein kinases)

RN 475574-56-2 CAPLUS

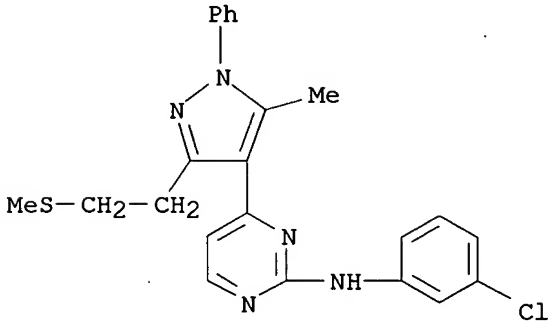
CN 2-Pyrimidinamine, 4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-N-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



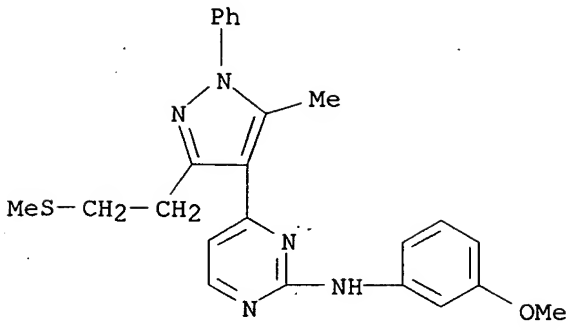
RN 475574-57-3 CAPLUS
CN 2-Pyrimidinamine, 4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-N-(3-phenoxyphenyl)- (9CI) (CA INDEX NAME)



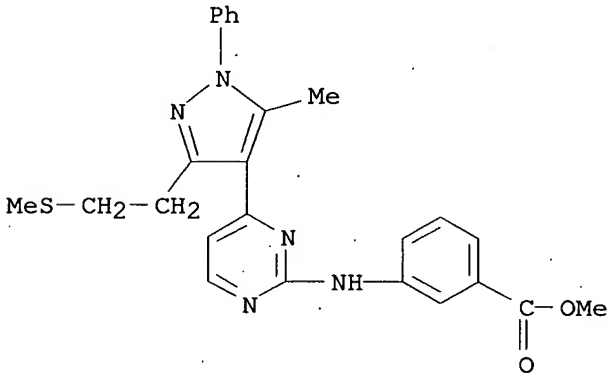
RN 475574-58-4 CAPLUS
CN 2-Pyrimidinamine, N-(3-chlorophenyl)-4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 475574-59-5 CAPLUS
CN 2-Pyrimidinamine, N-(3-methoxyphenyl)-4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



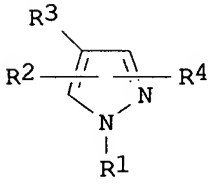
RN 475574-60-8 CAPLUS
CN Benzoic acid, 3-[[4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:150531 CAPLUS
DOCUMENT NUMBER: 138:187765
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 415 pp., Cont.-in-part of U.S. Ser. No. 196,623.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525059	B1	20030225	US 2000-513351	20000224

US 6514977 B1 20030204 US 1998-196623 19981120
WO 2000031063 A1 20000602 WO 1999-US26007 19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 1998-196623 A2 19981120
WO 1999-US26007 A1 19991117
US 1997-47570P P 19970522
US 1998-83670 A2 19980522
OTHER SOURCE(S): MARPAT 138:187765
GI



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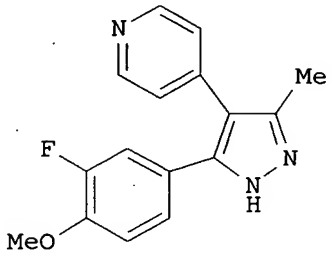


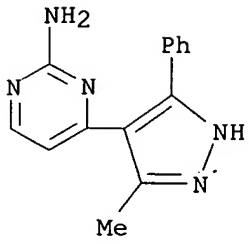
II

AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepared by solution phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was cyclocondensed with TsNHNH2 to afford the title compound II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 μM and inhibited tumor necrosis factor α (TNFα) and interleukin 1β (IL-1β) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC50 of 0.5 μM. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNFα.

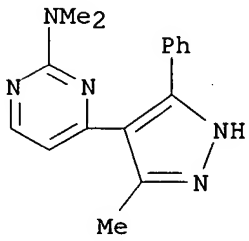
IT **216505-48-5P 216505-49-6P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216505-48-5 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 216505-49-6 CAPLUS
CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:92403 CAPLUS
DOCUMENT NUMBER: 138:137307
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 541 pp., Cont.-in-part of U.S. Ser. No. 83,670.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6514977	B1	20030204	US 1998-196623	19981120
CA 2351725	AA	20000602	CA 1999-2351725	19991117
WO 2000031063	A1	20000602	WO 1999-US26007	19991117

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	SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144403		A1	20011017	EP 1999-965756	19991117
EP 1144403		B1	20041006		
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TR 200102001		T2	20011221	TR 2001-200102001	19991117
BR 9915420		A	20020122	BR 1999-15420	19991117
EE 200100268		A	20021216	EE 2001-268	19991117
NZ 512344		A	20031128	NZ 1999-512344	19991117
AU 774262		B2	20040624	AU 2000-21454	19991117
AT 278685		E	20041015	AT 1999-965756	19991117
EP 1500657		A1	20050126	EP 2004-23186	19991117
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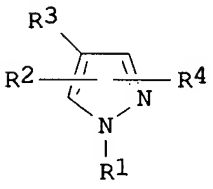
US 6525059		B1	20030225	US 2000-513351	20000224
ZA 2001003882		A	20021014	ZA 2001-3882	20010514
NO 2001002456		A	20010719	NO 2001-2456	20010518
BG 105620		A	20020131	BG 2001-105620	20010619
US 6423713		B1	20020723	US 2001-918481	20010731
HK 1040705		A1	20050304	HK 2002-102213	20020322
US 6617324		B1	20030909	US 2002-114297	20020402
US 2004176433		A1	20040909	US 2003-374781	20030225
PRIORITY APPLN. INFO.:				US 1997-47570P	P 19970522
				US 1998-83670	A2 19980522
				US 1998-196623	A 19981120
				EP 1999-965756	A3 19991117
				WO 1999-US26007	W 19991117
				US 2001-918481	A3 20010731
				US 2002-114297	A3 20020402

OTHER SOURCE(S): MARPAT 138:137307

GI



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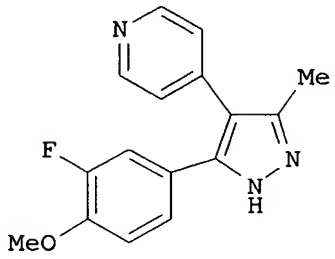


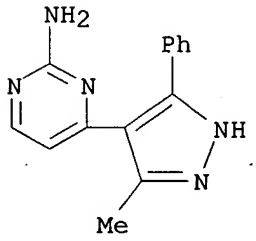
II

AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl or piperazinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepared by solution phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was cyclocondensed with TsNHNH2 to afford the title compound II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 μM and inhibited tumor necrosis factor α (TNFα) and interleukin 1β (IL-1β) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC50 of 0.5 μM. Thus, I are useful for the treatment of inflammation,

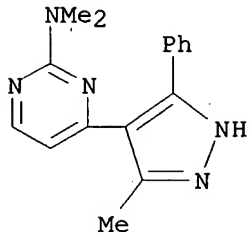
arthritis, asthma, and other disorders mediated by p38 kinase and TNFα.

IT **216505-48-5P 216505-49-6P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216505-48-5 CAPLUS
CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 216505-49-6 CAPLUS
CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:888716 CAPLUS
DOCUMENT NUMBER: 137:384853
TITLE: Preparation of pyrazolyl pyridinamines and pyrimidinamines as inhibitors of Src and other protein kinases
INVENTOR(S): Moon, Young-Choon
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092573	A2	20021121	WO 2002-US15606	20020516
WO 2002092573	A3	20040122		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2446864	AA	20021121	CA 2002-2446864		20020516
EP 1404669	A2	20040407	EP 2002-769762		20020516
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JP 2004534754	T2	20041118	JP 2002-589459		20020516
PRIORITY APPLN. INFO.:			WO 2002-US15606	W	20020516

OTHER SOURCE(S): MARPAT 137:384853

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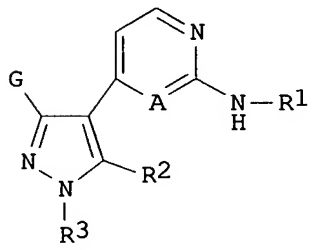

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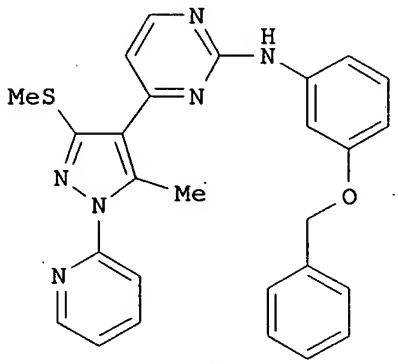


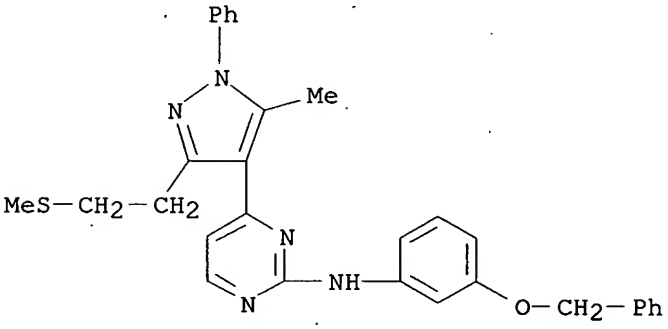
II

AB Title compds. I [wherein G = XR or XAr; X = independently alkylidene wherein 1-2 non-adjacent methylene units are independently replaced by O, NR, S, CO, CONR, NRCO, NRCONR, SO, SO2, NRSO2, SO2NR, or NRSO2NR; A = N or CR; R = H or (un)substituted aliphatic group; or NR2 = heterocyclyl; Ar = (un)substituted 5-6 membered monocyclic ring with 0-3 heteroatoms or 8-10 membered bicyclic ring with 0-4 heteroatoms; R1 = TnR or TnAr; n = 0-1; T = CO, CO2, COCO, COCH2CO, CONR, SO2, or SO2NR; R2 = H, Ar, or (un)substituted aliphatic group; R3 = R or Ar; or pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of protein kinase, particularly inhibitors of Src mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli (no data). For example, 3-dimethylamino-1-[5-methyl-3-methylsulfanyl-1-(pyridin-2-yl)-1H-pyrazol-4-yl]propenone was coupled with N-(3-benzyloxyphenyl)guanidine in MeOH to give II (40%). I and compns. containing I are useful in the treatment and prevention of various inflammatory, autoimmune, destructive bone, proliferative, infectious, neurodegenerative, allergic, and cardiac disorders and diseases (no data).

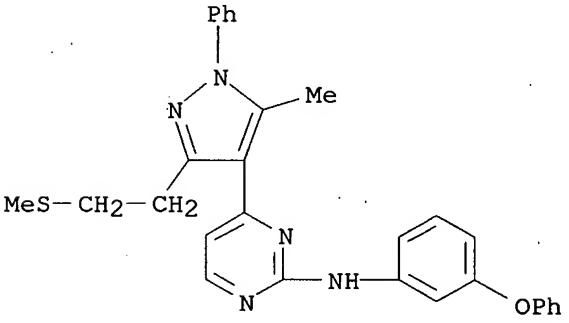
IT **475574-56-2P**, N-(3-(Benzyloxy)phenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine
475574-57-3P, N-(3-Phenoxyphenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine
475574-58-4P, N-(3-Chlorophenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine
475574-59-5P, N-(3-Methoxyphenyl)-N-[4-[5-methyl-3-(2-

(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine **475574-60-8P**, N-(3-(Methoxycarbonyl)phenyl)-N-[4-[5-methyl-3-(2-(methylthio)ethyl)-1-phenyl-1H-pyrazol-4-yl]pyrimidin-2-yl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Src protein kinase inhibitor; preparation of pyrazolyl pyridinamines and pyrimidinamine inhibitors of protein kinases using condensation, cyclization, and substitution reactions)

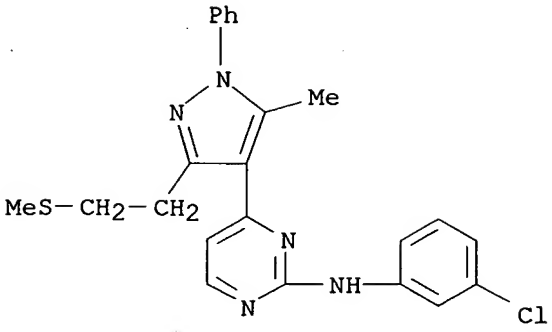
RN 475574-56-2 CAPLUS
CN 2-Pyrimidinamine, 4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-N-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



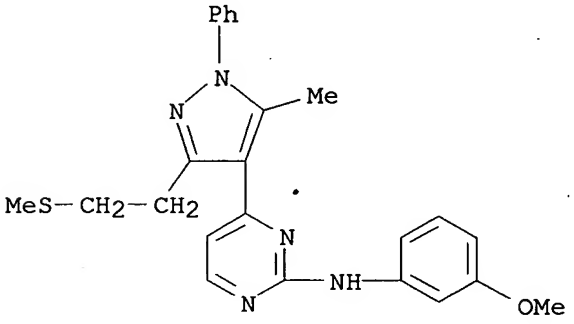
RN 475574-57-3 CAPLUS
CN 2-Pyrimidinamine, 4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-N-(3-phenoxyphenyl)- (9CI) (CA INDEX NAME)



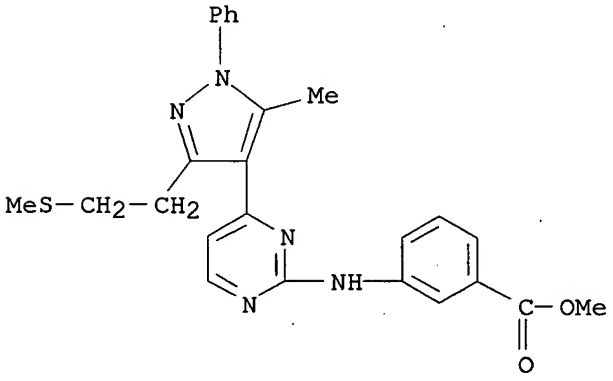
RN 475574-58-4 CAPLUS
CN 2-Pyrimidinamine, N-(3-chlorophenyl)-4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 475574-59-5 CAPLUS
CN 2-Pyrimidinamine, N-(3-methoxyphenyl)-4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 475574-60-8 CAPLUS
CN Benzoic acid, 3-[[4-[5-methyl-3-[2-(methylthio)ethyl]-1-phenyl-1H-pyrazol-4-yl]-2-pyrimidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:449675 CAPLUS
DOCUMENT NUMBER: 137:33311
TITLE: Preparation of pyrazolylpyridine- and -pyrimidineamines as JNK inhibitors
INVENTOR(S): Ledeboer, Mark; Salituro, Francesco; Moon, Young-Choon
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 62 pp.

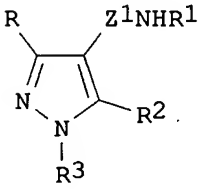
10/ 005,133

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046184	A1	20020613	WO 2001-US46383	20011205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2430539	AA	20020613	CA 2001-2430539	20011205
AU 2002028783	A5	20020618	AU 2002-28783	20011205
US 2002111353	A1	20020815	US 2001-5133	20011205
EP 1343781	A1	20030917	EP 2001-989898	20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518644	T2	20040624	JP 2002-547922	20011205

PRIORITY APPLN. INFO.: US 2000-251409P P 20001205
WO 2001-US46383 W 20011205

OTHER SOURCE(S): MARPAT 137:33311
GI



I

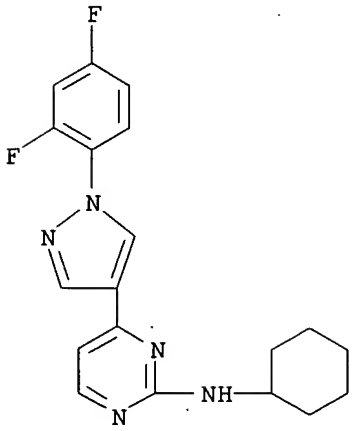
Pregrant version

AB Title compds. (I; R = H or alkyl; R1 = cycloalkyl, Ph, pyridyl, etc.; R2 = H, alkoxymethyl, heterocyclylmethyl, etc.; R3 = Ph, CH2Ph, etc.; Z1 = pyridine- or pyrimidine-4,2-diyl) were prepared Thus, R4Z1CH(CHO)2 (R4 = MeS, Z1 = pyrimidine-2,4-diyl) was cyclocondensed with H2NNHC6H3F2-2,4 and the S-oxidized product aminated by cyclohexylamine to give I (R = R2 = H, R1 = cyclohexyl, R3 = C6H3F2-2,4). Data for biol. activity of I were given.

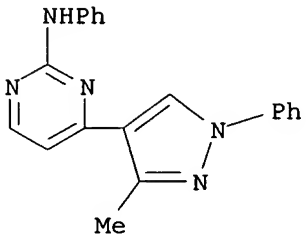
IT **434283-94-0P 434283-95-1P 434283-96-2P**
434283-97-3P 434283-98-4P 434283-99-5P
434284-00-1P 434284-01-2P 434284-02-3P
434284-03-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolylpyridine- and -pyrimidineamines as JNK inhibitors)

RN 434283-94-0 CAPLUS

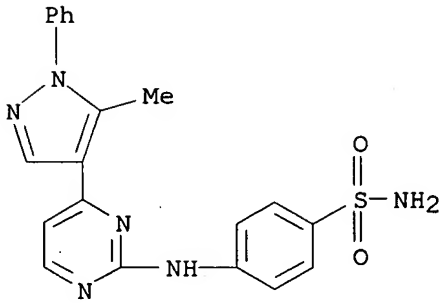
CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(2,4-difluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



RN 434283-95-1 CAPLUS
CN 2-Pyrimidinamine, 4-(3-methyl-1-phenyl-1H-pyrazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)

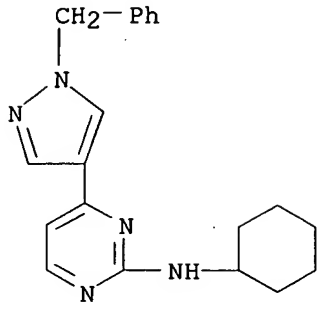


RN 434283-96-2 CAPLUS
CN Benzenesulfonamide, 4-[[4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

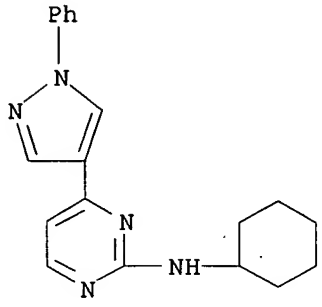


RN 434283-97-3 CAPLUS
CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(phenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

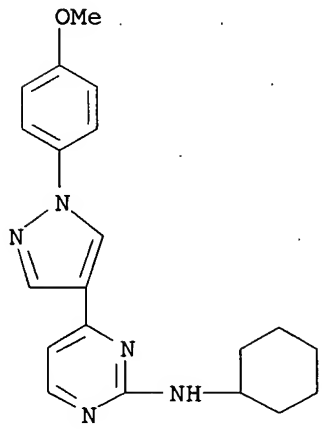
10/ 005,133



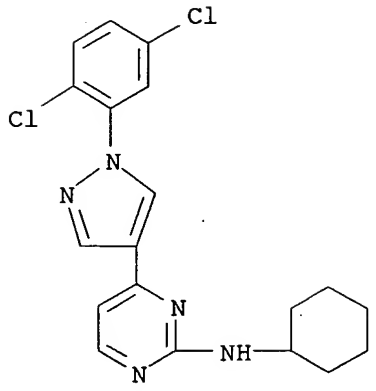
RN 434283-98-4 CAPLUS
CN 2-Pyrimidinamine, N-cyclohexyl-4-(1-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



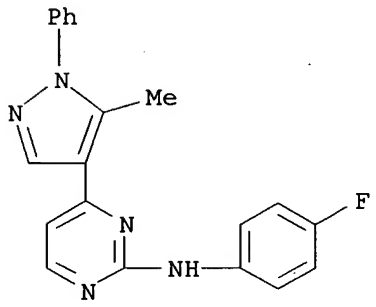
RN 434283-99-5 CAPLUS
CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(4-methoxyphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



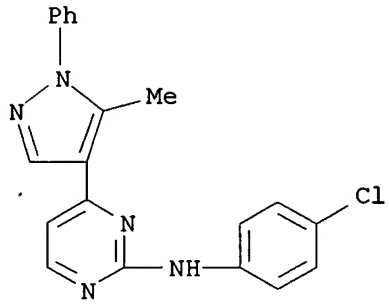
RN 434284-00-1 CAPLUS
CN 2-Pyrimidinamine, N-cyclohexyl-4-[1-(2,5-dichlorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)



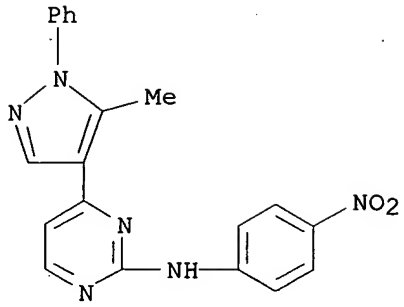
RN 434284-01-2 CAPLUS
CN 2-Pyrimidinamine, N-(4-fluorophenyl)-4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-
(9CI) (CA INDEX NAME)



RN 434284-02-3 CAPLUS
CN 2-Pyrimidinamine, N-(4-chlorophenyl)-4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-
(9CI) (CA INDEX NAME)



RN 434284-03-4 CAPLUS
CN 2-Pyrimidinamine, 4-(5-methyl-1-phenyl-1H-pyrazol-4-yl)-N-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

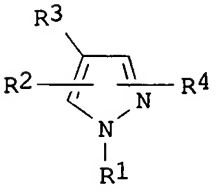
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:368337 CAPLUS
DOCUMENT NUMBER: 133:4656
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Z.; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Khanna, Ish K.; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Yu, Yi
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 1210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6514977	B1	20030204	US 1998-196623	19981120
CA 2351725	AA	20000602	CA 1999-2351725	19991117
EP 1144403	A1	20011017	EP 1999-965756	19991117
EP 1144403	B1	20041006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9915420	A	20020122	BR 1999-15420	19991117
EE 200100268	A	20021216	EE 2001-268	19991117
NZ 512344	A	20031128	NZ 1999-512344	19991117

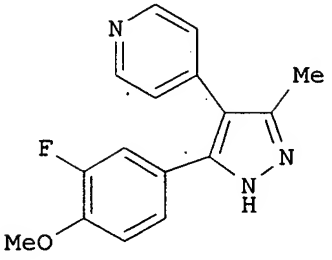
10/ 005,133

AU 774262	B2	20040624	AU 2000-21454		19991117
AT 278685	E	20041015	AT 1999-965756		19991117
US 6525059	B1	20030225	US 2000-513351		20000224
NO 2001002456	A	20010719	NO 2001-2456		20010518
BG 105620	A	20020131	BG 2001-105620		20010619
HK 1040705	A1	20050304	HK 2002-102213		20020322
PRIORITY APPLN. INFO.:			US 1998-196623	A	19981120
			US 1997-47570P	P	19970522
			US 1998-83670	A2	19980522
			WO 1999-US26007	W	19991117

OTHER SOURCE(S): MARPAT 133:4656
GI



I

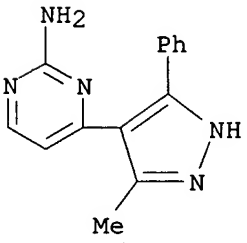


II

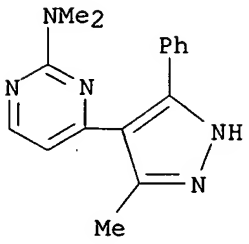
AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, (un)substituted piperidinyl, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepared by reaction of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compound II. Data for biol. activity of I were given.

IT **216505-48-5P 216505-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216505-48-5 CAPLUS
CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 216505-49-6 CAPLUS
CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



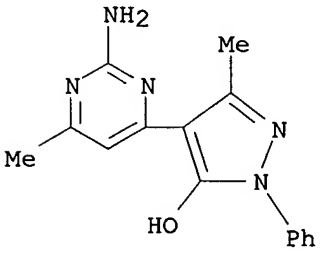
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:700930 CAPLUS
DOCUMENT NUMBER: 132:151766
TITLE: Synthesis and antimicrobial activity of 4-(4-pyrazolyl)-2-aminopyrimidines
AUTHOR(S): Singh, Shiv P.; Batra, Hitesh; Naithani, Rajesh; Prakash, Om
CORPORATE SOURCE: Department of Chemistry, Kurukshetra University, Kurukshetra, 136 119, India
SOURCE: Indian Journal of Heterocyclic Chemistry (1999), 9(1), 73-74
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Prof. R. S. Varma
DOCUMENT TYPE: Journal
LANGUAGE: English

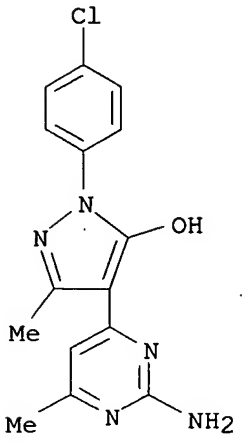
AB 1-(Pyrazol-4-yl)-1,3 butanediones on condensation with guanidine carbonate give 4-(4-pyrazolyl)-2-aminopyrimidines in good yields. A few compds. show moderate level of antimicrobial activity.

IT **257625-23-3P 257625-24-4P 257625-25-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of [hydroxy(methyl)pyrazolyl]pyrimidinamines)

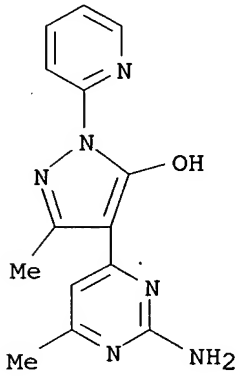
RN 257625-23-3 CAPLUS
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



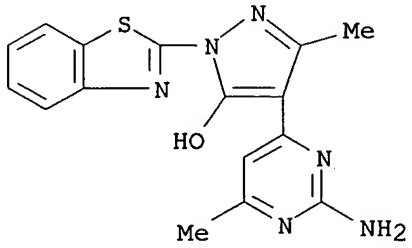
RN 257625-24-4 CAPLUS
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-1-(4-chlorophenyl)-3-methyl- (9CI) (CA INDEX NAME)



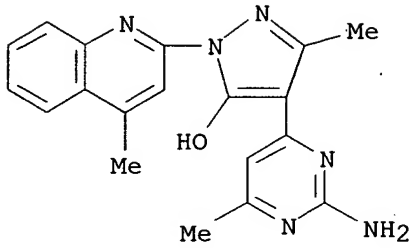
RN 257625-25-5 CAPLUS
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(2-pyridinyl)- (9CI) (CA INDEX NAME)



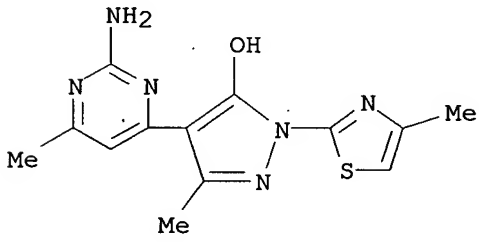
IT **257625-26-6P 257625-27-7P 257625-28-8P**
257625-29-9P 257625-30-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 257625-26-6 CAPLUS
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-1-(2-benzothiazolyl)-3-methyl- (9CI) (CA INDEX NAME)



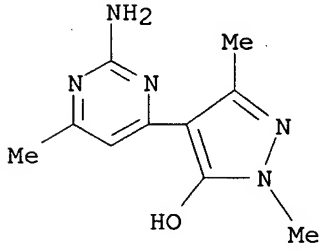
RN 257625-27-7 CAPLUS
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(4-methyl-2-quinolinyl)- (9CI) (CA INDEX NAME)



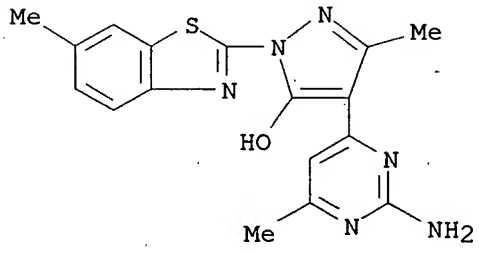
RN 257625-28-8 CAPLUS
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(4-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



RN 257625-29-9 CAPLUS
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 257625-30-2 CAPLUS
CN 1H-Pyrazol-5-ol, 4-(2-amino-6-methyl-4-pyrimidinyl)-3-methyl-1-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)



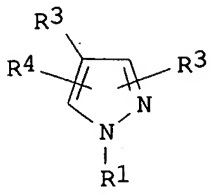
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:789144 CAPLUS
DOCUMENT NUMBER: 130:38377
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Hanson, Gunnar J.; Koszyk, Francis J.; Liao, Shuyuan; Partis, Richard A.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Weier, Richard M.; Xu, Xiangdong
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; et al.
SOURCE: PCT Int. Appl., 828 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852940	A1	19981126	WO 1998-US10436	19980522
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2291115	AA	19981126	CA 1998-2291115	19980522
AU 9875883	A1	19981211	AU 1998-75883	19980522
AU 754830	B2	20021128		
ZA 9804358	A	19990524	ZA 1998-4358	19980522
EP 1000055	A1	20000517	EP 1998-923642	19980522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200000235	T2	20000522	TR 2000-200000235	19980522
EE 9900527	A	20000615	EE 1999-527	19980522
BR 9809147	A	20000801	BR 1998-9147	19980522
JP 2002508754	T2	20020319	JP 1998-550650	19980522
NZ 501112	A	20021025	NZ 1998-501112	19980522
AP 1246	A	20040207	AP 1999-1715	19980522
W: GM, GH, KE, LS, MW, SD, SZ, UG, ZW				
NO 9905695	A	20000121	NO 1999-5695	19991119
MX 9910759	A	20000531	MX 1999-10759	19991122
BG 64313	B1	20040930	BG 1999-103964	19991208
PRIORITY APPLN. INFO.:			US 1997-47570P P	19970522
			WO 1998-US10436 W	19980522

OTHER SOURCE(S): MARPAT 130:38377
GI



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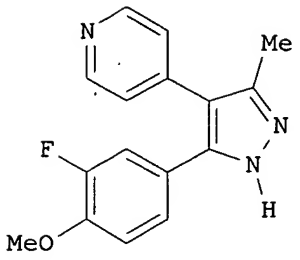


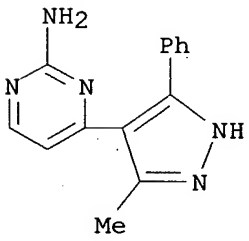
II

AB Title compds. [I; R1 = H, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepared Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compound II. Data for biol. activity of I were given.

IT **216505-48-5P 216505-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylpyrazoles as p38 kinase inhibitors)

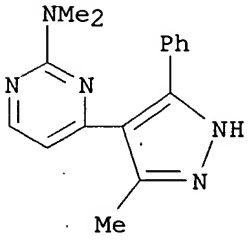
RN 216505-48-5 CAPLUS

CN 2-Pyrimidinamine, 4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



RN 216505-49-6 CAPLUS

CN 2-Pyrimidinamine, N,N-dimethyl-4-(3-methyl-5-phenyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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